

Abstract

The present invention is a process for the preparation of 17 β -hydroxy-7 α -methyl-19-nor-17 α -pregn-5(10)-en-20-yn-3-one (17 α -ethynyl-17 β -hydroxy-7 α -methyl-5(10)-estren-3-one, tibolone) of formula 1, which comprises hydrolysis of 17 α -ethynyl-17 β -hydroxy-7 α -methyl-5(10)-estrene 3,3-cyclic ketals of formula 2, where groups R₁, R₂, R₃ and R₄ are hydrogen atoms or alkyl groups, or R₁ and R₃, taken together with the carbon atoms within the dioxolane ring to which they are attached, form an alicyclic ring fused to the dioxolane ring, with R₂ and R₄ being hydrogen atoms, or R₁ and R₃ together with the carbon atoms to which they are attached form an aromatic ring fused to the dioxolane ring, where R₂ and R₄, taken together, form a chemical bond within said aromatic ring. In addition, the present invention includes an intermediate, compound of formula 2 and two processes to prepare 17 α -ethynyl-17 β -hydroxy-7 α -methyl-5(10)-estrene 3,3-cyclic ketals of formula 2: (a) by contacting 17 α -ethynyl-17 β -hydroxy-7 α -methyl-4-estren-3-one with vicinal diols in the presence of a protic acid, and (b) by contacting 7 α -methyl-5(10)-estrene-17-one 3,3-cyclic ketals of formula 4, where R₁-R₄ are defined as above, with metal acetylides, in inert solvents.

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